



### **PCT**

### INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

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| Applicable as a set of   | T  | WIPO PCT   |  |  |  |  |
|--|--|--|--|--|--|--|
| Applicant's or agent's file reference MXG/P33127   | FOR FURTHER ACTION   | See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416) |  |  |  |  |
| International application No. PCT/EP 03/11649  | International filing date (day/month/y 20.10.2003  | Priority date (day/month/year) 22.10.2002  |  |  |  |  |
| International Patent Classification (IPC) or bo  | oth national classification and IPC  |  |  |  |  |  |
| Applicant GLAXO GROUP LIMITED  |  |  |  |  |  |  |
| This international preliminary exame Authority and is transmitted to the   | nination report has been prepared applicant according to Article 36.   | by this International Preliminary Examining  |  |  |  |  |
| 2. This REPORT consists of a total of  | 4 sheets, including this cover sh  | eet.   |  |  |  |  |
| This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT). |  |  |  |  |  |  |
| These annexes consist of a total of  |  |  |  |  |  |  |
| This report contains indications relations.  | ating to the following items:  | ·  |  |  |  |  |
| I ⊠ Basis of the opinion   |  |  |  |  |  |  |
| II Priority  |  |  |  |  |  |  |
|  | ninion with regard to novelty inves  | ntive step and industrial applicability  |  |  |  |  |
| IV  Lack of unity of invention   | n  | nive step and industrial applicability   |  |  |  |  |
| V 🖾 Reasoned statement un  | under Rule 66.2(a)(li) with regard to novelty, inventive step or industrial applicability; tions supporting such statement |  |  |  |  |  |
| VI   Certain documents cited   |  |  |  |  |  |  |
| VII   Certain defects in the int   | ernational application   |  |  |  |  |  |
|  | the international application  |  |  |  |  |  |
| Date of submission of the demand   |  |  |  |  |  |  |
| Date of Submission of the demand   | Date of com  | pletion of this report   |  |  |  |  |
| 05.05.2004   | 10.08.200  | 4  |  |  |  |  |
| Name and mailing address of the international preliminary examining authority:   | Authorized C   | Officer Captus Palances.   |  |  |  |  |
| European Patent Office<br>D-80298 Munich<br>Tel. +49 89 2399 - 0 Tx: 523656<br>Fax: +49 89 2399 - 4465   | •  | M<br>o. +49 89 2399-8460   |  |  |  |  |

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/EP 03/11649

| I. | Basis | s of | the  | report |
|----|-------|------|------|--------|
| •• | -u31  | 3 VI | 1110 | ICDOLL |

1. With regard to the **elements** of the international application (Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)):

|                 | De                                     | Description, Pages   |  |  |  |  |  |  |  |
|-----------------|--|--|--|--|--|--|--|--|--|
|                 | 1-5                                    | 53   | as originally filed  |  |  |  |  |  |  |
| Claims, Numbers |  |  |  |  |  |  |  |  |  |
|                 | 1-8                                    | 3  | as originally filed  |  |  |  |  |  |  |
| 2.              | Wit<br>lan                             | th regard to the <b>langu</b><br>guage in which the in   | age, all the elements marked above were available or furnished to this Authority in the ternational application was filed, unless otherwise indicated under this item. |  |  |  |  |  |  |
|                 | The                                    | ese elements were av   | vailable or furnished to this Authority in the following language: , which is:   |  |  |  |  |  |  |
|                 |  | the language of a tra  | anslation furnished for the purposes of the international search (under Rule 23.1(b)).   |  |  |  |  |  |  |
|                 |  | the language of pub  | lication of the international application (under Rule 48.3(b)).  |  |  |  |  |  |  |
|                 |  |  | anslation furnished for the numoses of international proliminary eventuation (under  |  |  |  |  |  |  |
| 3.              | Wit<br>inte                            | With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing: |  |  |  |  |  |  |  |
|                 |  | contained in the inte  | rnational application in written form.   |  |  |  |  |  |  |
|                 |  | filed together with th   | e international application in computer readable form.   |  |  |  |  |  |  |
|                 |  |  | ntly to this Authority in written form.  |  |  |  |  |  |  |
|                 |  | furnished subsequer  | ntly to this Authority in computer readable form.  |  |  |  |  |  |  |
|                 |  | The statement that t in the international a  | he subsequently furnished written sequence listing does not go beyond the disclosure pplication as filed has been furnished.   |  |  |  |  |  |  |
|                 |  | The statement that t listing has been furn   | he information recorded in computer readable form is identical to the written sequence ished.  |  |  |  |  |  |  |
| 4.              | The                                    | amendments have re   | esulted in the cancellation of:  |  |  |  |  |  |  |
|                 |  | the description,   | pages:   |  |  |  |  |  |  |
|                 |  | the claims,  | Nos.:  |  |  |  |  |  |  |
|                 |  | the drawings,  | sheets:  |  |  |  |  |  |  |
| 5.              |  | This report has been been considered to g  | established as if (some of) the amendments had not been made, since they have go beyond the disclosure as filed (Rule 70.2(c)).  |  |  |  |  |  |  |
|                 |  | (Any replacement sh<br>report.)  | eet containing such amendments must be referred to under item 1 and annexed to this  |  |  |  |  |  |  |
| 3.              | Additional observations, if necessary: |  |  |  |  |  |  |  |  |

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/EP 03/11649

| I  | I. No        | n-establishment of opinion   | with re           | egard to nov                 | velty, inventive step and industrial applicability                  |  |  |
|----|--------------|--|-------------------|------------------------------|---|--|--|
|    | . The        |  | ed inve           | ention annea                 | are to be povol to involve an investigation to                      |  |  |
|    |              | ·  |                   |                              |   |  |  |
|    | $\boxtimes$  | claims Nos. 1-8  |                   |                              |   |  |  |
|    | because:     |  |                   |                              |   |  |  |
|    | Ø            | the said international application, or the said claims Nos. 7 relate to the following subject matter which do not require an international preliminary examination (specify):  |                   |                              |   |  |  |
|    |              | see separate sheet   |                   |                              |   |  |  |
|    |              | the description, claims or dra<br>that no meaningful opinion co  | wings<br>ould be  | (indicate par<br>formed (spe | rticular elements below) or said claims Nos. are so unclear ecify): |  |  |
|    |              | the claims, or said claims No could be formed.   | s. are            | so inadequat                 | tely supported by the description that no meaningful opinior        |  |  |
|    | $\boxtimes$  | no international search report   | has b             | een establisl                | shed for the said claims Nos. 1-8(part)                             |  |  |
| 2. |              | A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and<br>or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative<br>Instructions: |                   |                              |   |  |  |
|    |              | the written form has not been  | furnis            | hed or does                  | not comply with the Standard.                                       |  |  |
|    |              |  |                   |                              | hed or does not comply with the Standard.                           |  |  |
| ٧. | Rea<br>citat | soned statement under Articitions and explanations supp  | cle 35(<br>orting | (2) with rega<br>such state  | ard to novelty, inventive step or industrial applicability;         |  |  |
| 1. | State        | ement  |                   |                              |   |  |  |
|    | Nove         | elty (N)   | Yes:<br>No:       | Claims<br>Claims             | 1-8   |  |  |
|    | Inve         | ntive step (IS)  | Yes:<br>No:       | Claims<br>Claims             | 1-8   |  |  |
|    | Indu         | strial applicability (IA)  | Yes:<br>No:       | Claims<br>Claims             | 1-8   |  |  |
| 2. | Citat        | ions and explanations  |                   |                              |   |  |  |

see separate sheet

## INTERNATIONAL PRELIMINARY International application No. PCT/EP 03/11649 EXAMINATION REPORT - SEPARATE SHEET

The present application relates to p-(R3-subsituted alkoxy)-benzamide or p-(R3 substituted alkoxy)-benzenesulfonamide derivatives (see formel (I)). Following an observation of non-unity and non-payment of further search fees, the interenational search was limited to benzamide derivatives of formal (I) in which R1 represents groups (A) or (F), i.e. specific carbonyl linked saturated heterocyclic groups with two nitrogen atoms. The examination is limited to the searched subject-matter.

#### 2) Cited documents

D1: WO 02/076925 A D2: WO 02/12190 A D3: WO 00/06254 A

#### 3) Novelty

Documents D1 and D2 describe non-imidazole aryl alkylamine compounds as histamine H3 receptor antagonists. The structures described in D1 and D2 closely resemble the presently defined compounds; the claimed subject-matter may be considered new by selection over D1 and D2.

Document D3 describes a broad formula for non-imidazole aryl alkylamine type histamine H3 receptor antagonists (see D3, claim 16) without mention of the specific group R1 as defined in the present application.

### 4) Inventive step

In view of documents D1 or D2 as closest prior art, the problem underlying the present application is to be seen in the provision of further histamine H3 receptor antagonists.

Merely as alternatives to the compounds of D1 and D2, the presently claimed matter would seem obvious as the relevant activity was already indicated in the prior art (D1, D2, D3) for the type of compounds presently claimed.

### 5) Further observations

Openended structural features such as aryl, heterocyclyl etc. are not considered appropriate for the definition of biologically active compounds as such features are likely comprise structures with which the intended activity cannot be achieved. Claim 7 relates to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(I) PCT).